

IN THE CLAIMS

Please amend the claims as follows:

1. (Cancelled)
2. (Currently amended) The compound according to claim 43 45, where, R¹ is an alkyl or aryl group, with or without the one or more substituents.
3. (Previously amended) The compound according to claim 2, where, R¹ is a methyl, ethyl or benzyl group, with or without the one or more substituents.
4. (Currently amended) The compound according to claim 43 45, where, R² is an alkyl group, with or without the one or more substituents.
5. (Previously amended) The compound according to claim 4, where, R² is a methyl, ethyl, iso-butyl or hydroxyethyl group, with or without the one or more substituents.
6. (Currently amended) The compound according to claim 43 45, where, R³ is an aryl group, with or without the one or more substituents.
7. (Previously amended) The compound according to claim 6, where, R³ is a hydroxyaryl, alkoxyaryl or aminosulfonylaryl group, with or without the one or more substituents.
8. (Previously amended) The compound according to claim 7, where, the hydroxyaryl, alkoxyaryl or aminosulfonylaryl group for R³ is substituted with at least one halogen atom on the aryl ring.
9. (Currently amended) The compound according to claim 43 45, where, R⁴ is a heterocycloalkyl group, with or without the one or more substituents.

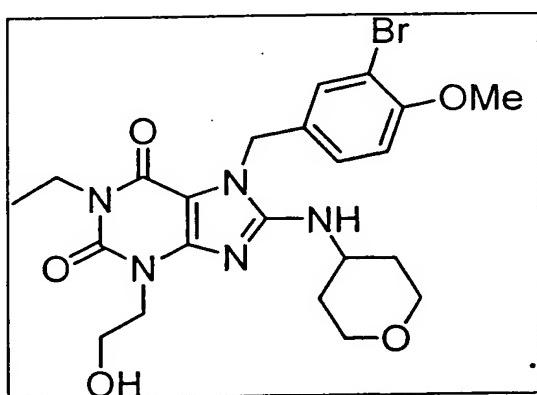
10. (Previously amended) The compound according to claim 9, where, R⁴ is a tetrahydropyranyl group, with or without the one or more substituents.

11. (Currently amended) The compound according to claim 43 45, where, R¹ is a methyl or ethyl group, R² is a methyl, ethyl or hydroxyethyl group, R³ is a 3-chloro-4-hydroxyphenyl, 3-bromo-4-hydroxyphenyl, 3-chloro-4-methoxyphenyl, 3-bromo-4-methoxyphenyl, or 4-aminosulfonylphenyl group and R⁴ is a tetrahydropyranyl group.

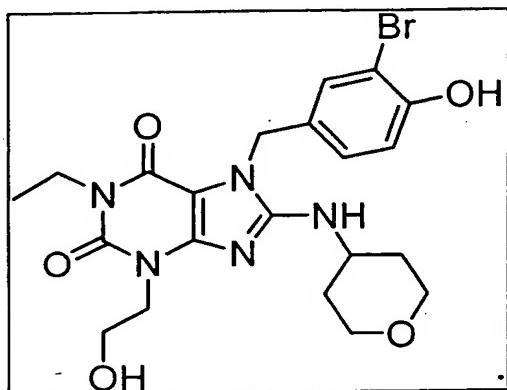
12. (Currently amended) The compound according to claim 43 45, where, R¹ is an alkyl or aryl group, with or without the one or more substituents, R² is an alkyl group, with or without the one or more substituents, and R³ is a 4-hydroxyphenyl, 3-chloro-4-hydroxyphenyl, 3-bromo-4-hydroxyphenyl, 4-methoxyphenyl, 3-chloro-4-methoxyphenyl, 3-bromo-4-methoxyphenyl, 4-aminosulfonylphenyl, 3-chloro-4-aminosulfonylphenyl or 3-bromo-4-aminosulfonylphenyl group.

13-21. (Cancelled)

22. (Currently amended) The compound according to claim 43 45, which is:



23. (Currently amended) The compound according to claim 43 45, which is:



24-27. (Cancelled)

28. (Currently amended) The compound according to claim 27 51, where, R³ is an optionally substituted, hydroxyaryl, alkoxyaryl or aminosulfonylaryl group, wherein, the optional substituents are defined the same as for the one or more substituents of formula (I) above.

29. (Currently amended) The compound according to claim 27 51, where, R⁹ is a hydrogen atom.

30. (Currently amended) The compound according to claim 27 51, where, one of R¹⁰ and R¹¹ is a hydrogen atom, and the other one of R¹⁰ and R¹¹ is a hydrogen atom or a hydroxy group.

31-33. (Cancelled)

34. (Currently amended) A method for elevating a cGMP level in a patient in need of the treatment, comprising administering to the patient an effective amount of the compound according to claim 43 45.

35. (Currently amended) A method for treating an erectile dysfunction in a patient in need of the treatment, comprising administering to the patient an effective amount of at least one of the compound according to claim 43 45.

36. (Cancelled)

37. (Currently amended) A method for treating an erectile dysfunction in a patient in need of the treatment, comprising administering to the patient an effective amount of at least one of the compound according to claim 27 51.

38. (Cancelled)

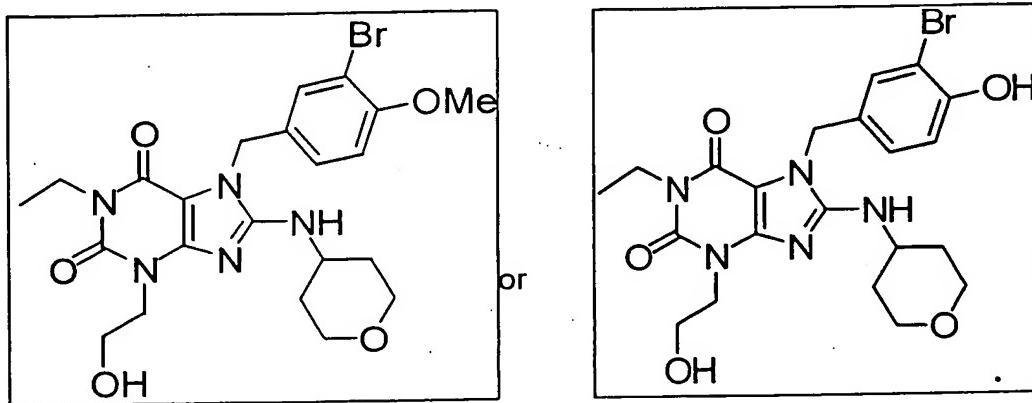
39. (Currently amended) A method for treating an erectile dysfunction or another symptom, disease or disorder in a patient in need of the treatment, comprising administering to the patient a combination therapy, comprising a therapeutically effective amount of at least one compound according to claim 43 45 and at least one compound selected from the group consisting of: a prostanoid, α -adrenergic receptor, dopamine receptor agonist, melanocortin receptor agonist, endothelin receptor antagonist, endothelin converting enzyme inhibitor, angiotensin II receptor antagonist, angiotensin converting enzyme inhibitor, neutral metalloendopeptidase inhibitor, renin inhibitor, serotonin 5-HT_{2c} receptor agonist, nociceptin receptor agonist, rho kinase inhibitor, potassium channel modulator and multidrug resistance protein 5 inhibitor.

40. (Cancelled)

41. (Currently amended) A pharmaceutical composition comprising a compound, enantiomer, stereoisomer, rotomer or tautomer of claim 43 45 or

pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

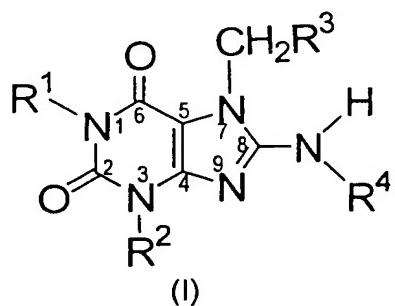
42. (Currently amended) The pharmaceutical composition compound according to claim 43 41, wherein the compound which is:



43. (Cancelled)

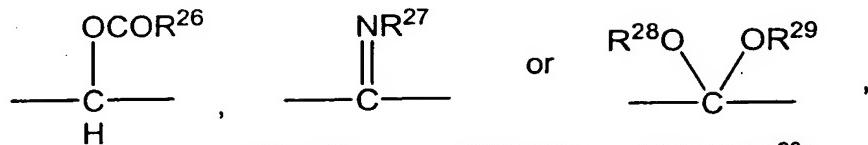
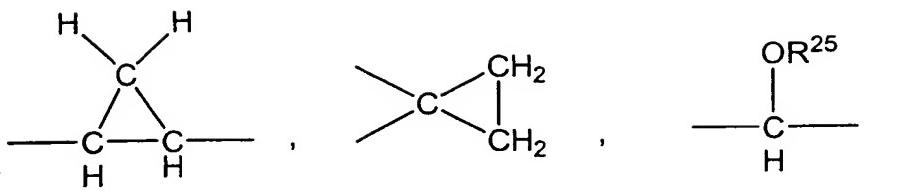
44. (Cancelled)

45. (New) A compound of Formula (I), an enantiomer, stereoisomer, rotomer, tautomer or a pharmaceutically acceptable salt thereof:



where,

- (a) R^1 and R^2 are, independently of one another, each a C₁₋₁₅ alkyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkenyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkynyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₃₋₁₅ cycloalkyl group, unsubstituted or substituted with one or more substituents, an arylalkyl group, unsubstituted or substituted with one or more substituents, an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, -OR⁵, -COOR⁵, -C(O)R⁵ or -C(O)N(R⁵)₂, where, R⁵ is a hydrogen atom or a hydrocarbon radical, unsubstituted or substituted with one or more substituents, or one of R^1 and R^2 is a hydrogen atom and the other one of R^1 and R^2 is defined the same as above;
- (b) R^3 is an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, or a heterocyclic group having 1 to 3 heteroatoms fused to a 5- or 6-membered aryl ring, unsubstituted or substituted with one or more substituents, with the proviso that R^3 is not an aryl group substituted at its para position with a -Y-aryl group, where, Y is a carbon-carbon single bond, -C(O)-, -O-, -S-, -N(R²¹)-, -C(O)N(R²²)-, -N(R²²)C(O)-, -OCH₂- , -CH₂O-, -SCH₂- , -



$\text{CH}_2\text{S}-$, $-\text{N}(\text{H})\text{C}(\text{R}^{23})(\text{R}^{24})-$, $-\text{N}(\text{R}^{23})\text{S}(\text{O}_2)-$, $-\text{S}(\text{O}_2)\text{N}(\text{R}^{23})-$,
 $-\text{C}(\text{R}^{23})(\text{R}^{24})\text{N}(\text{H})-$, $-\text{CH}=\text{CH}-$, $-\text{CF}=\text{CF}-$, $-\text{CH}=\text{CF}-$, $-\text{CF}=\text{CH}-$,
 CH_2CH_2- , $-\text{CF}_2\text{CF}_2-$,

where,

R^{21} is a hydrogen atom or a $-\text{CO}(\text{C}_{1-4}$ alkyl), C_{1-6} alkyl, allyl, C_{3-6}

cycloalkyl, phenyl or benzyl group;

R^{22} is a hydrogen atom or a C_{1-6} alkyl group;

R^{23} is a hydrogen atom or a C_{1-5} alkyl, aryl or $-\text{CH}_2\text{-aryl}$ group;

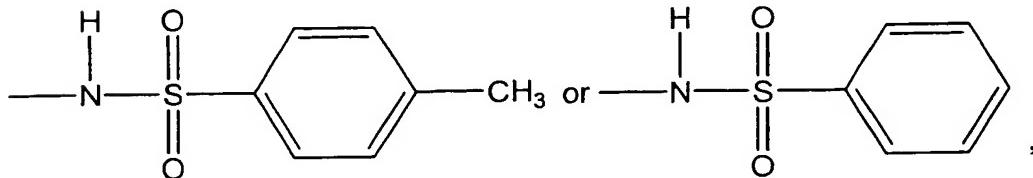
R^{24} is a hydrogen atom or a C_{1-4} alkyl group;

R^{25} is a hydrogen atom or a C_{1-8} alkyl, C_{1-8} perfluoroalkyl,

C_{3-6} cycloalkyl, phenyl or benzyl group;

R^{26} is a hydrogen atom or a C_{1-6} alkyl, C_{3-6} cycloalkyl, phenyl or
benzyl group;

R^{27} is $-\text{NR}^{23}\text{R}^{24}$, $-\text{OR}^{24}$, $-\text{NHCONH}_2$, $-\text{NHCSNH}_2$,



and

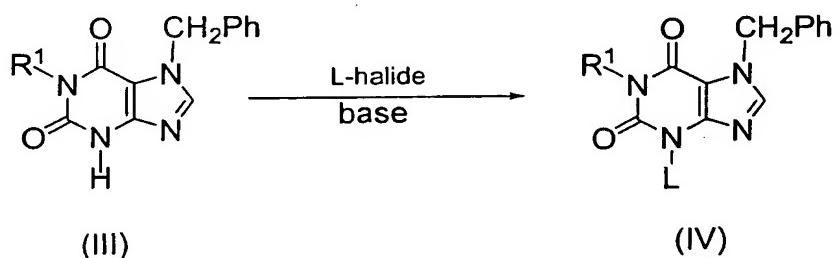
R^{28} and R^{29} are, independently of one another, each a C_{1-4} alkyl group or, taken together with each other, a $-(CH_2)_q$ group, where q is 2 or 3; and

- (c) R^4 is a heterocycloalkyl group of 3 to 15 members unsubstituted or substituted with one or more substituents; wherein, the one or more substituents for all the groups are chemically-compatible and are, independently of one another, each an: alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, arylalkyl, alkylaryl, aryl, heteroaryl, heterocycloalkyl, hydroxyalkyl, arylalkyl, aminoalkyl, haloalkyl, alkylthioalkyl, carboxyalkyl, imidazolylalkyl, indolylalkyl, mono-, di- and trihaloalkyl, mono-, di- and trihaloalkoxy, amino, alkylamino, dialkylamino, alkoxy, hydroxy, halo, nitro, oximino, $-COOR^{50}$, $-COR^{50}$, $-SO_{0-2}R^{50}$, $-SO_2NR^{50}R^{51}$, $NR^{52}SO_2R^{50}$, $=C(R^{50}R^{51})$, $=N-OR^{50}$, $=N-CN$, $=C(halo)_2$, $=S$, $=O$, $-CON(R^{50}R^{51})$, $-OCOR^{50}$, $-OCON(R^{50}R^{51})$, $-N(R^{52})CO(R^{50})$, $-N(R^{52})COOR^{50}$ or $-N(R^{52})CON(R^{50}R^{51})$ group, where: R^{50} , R^{51} and R^{52} are, independently of one another, each a hydrogen atom or a branched or straight-chain, optionally substituted, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{4-6} heterocycloalkyl, heteroaryl or aryl group, or R^{50} and R^{51} together with the atom to which they are attached together form a carbocyclic or heterocyclic ring system,

wherein the optional substituents are defined above for the one or more substituents.

46. (Currently amended) A method for producing a compound having the formula (I), comprising:

(i) reacting a compound having the formula (III) with L-halide in the presence of a base to form a compound having the formula (IV):



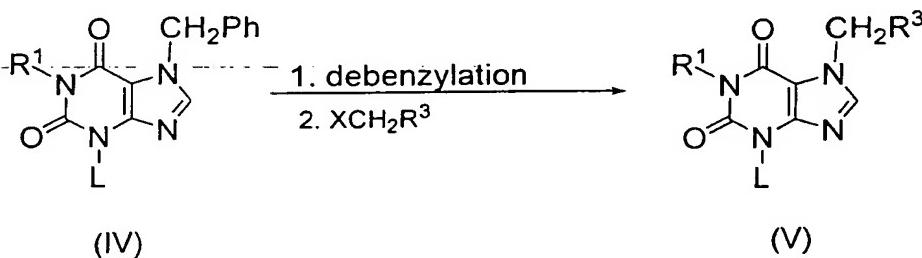
where,

(a) R¹ is a hydrogen atom or a C₁₋₁₅ alkyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkenyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkynyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₃₋₁₅ cycloalkyl group, unsubstituted or substituted with one or more substituents, an arylalkyl group, unsubstituted or substituted with one or more substituents, an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more

substituents, $-OR^5$, $-COOR^5$, $-C(O)R^5$ or $-C(O)N(R^5)_2$, where R^5 is a hydrogen atom or a hydrocarbon radical, branched or straight-chain, unsubstituted or substituted with one or more substituents;

- (b) L is R² or a protected form of R²; and
 - (c) Ph is a phenyl group;

(ii) debenzylating and then reacting the compound having the formula (IV) with a halide having the formula XCH₂R³ to form the compound having the formula (V):

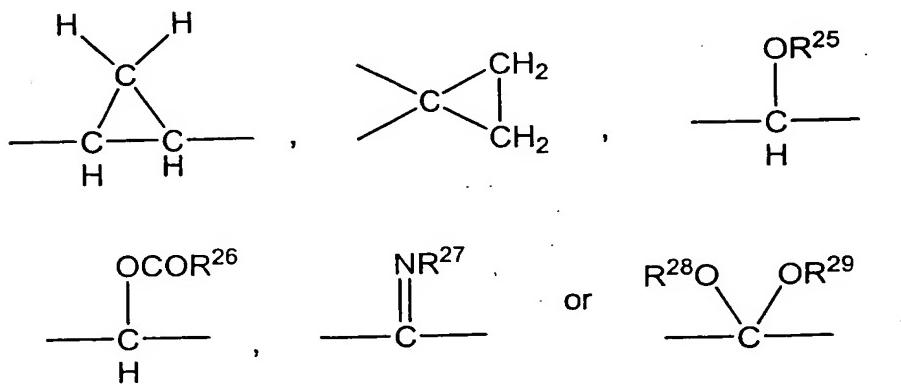


where,

X is a halogen atom and

R^3 is an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, or a heterocyclic group having 1 to 3 heteroatoms fused to a 5- or 6-membered aryl ring, unsubstituted or substituted with one or more substituents, with the proviso that R^3 is not an aryl group substituted at its para position with a $-Y\text{-aryl}$

group, where Y is a carbon-carbon single bond, -CO-, -O-, -S-, -N(R²¹)-, -CON(R²²)-, -N(R²²)CO-, -OCH₂-, -CH₂O-, -SCH₂-, -CH₂S-, -NHC(R²³)(R²⁴)-, -NR²³SO₂-, -SO₂NR²³-, -C(R²³)(R²⁴)NH-, -CH=CH-, -CF=CF-, -CH=CF-, -CF=CH-, -CH₂CH₂-, -CF₂CF₂-,



where,

R²¹ is a hydrogen atom or a -CO(C₁₋₄ alkyl), C₁₋₆ alkyl, allyl, C₃₋₆ cycloalkyl, phenyl or benzyl group;

R²² is a hydrogen atom or a C₁₋₆ alkyl group;

R²³ is a hydrogen atom or a C₁₋₅ alkyl, aryl or -CH₂-aryl group;

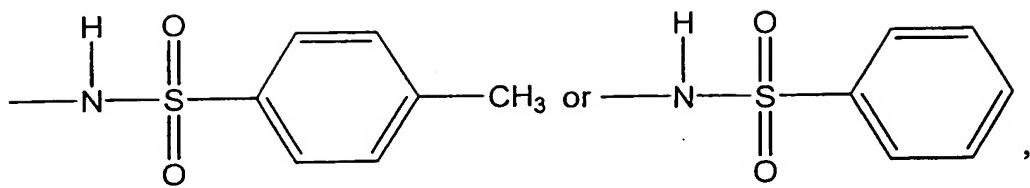
R²⁴ is a hydrogen atom or a C₁₋₄ alkyl group;

R²⁵ is a hydrogen atom or a C₁₋₈ alkyl, C₁₋₈ perfluoroalkyl;

C₃₋₆ cycloalkyl, phenyl or benzyl group;

R²⁶ is a hydrogen atom or a C₁₋₆ alkyl, C₃₋₆ cycloalkyl, phenyl or benzyl group;

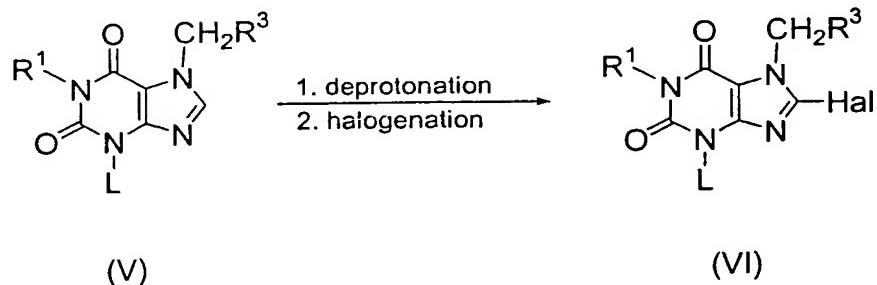
R²⁷ is -NR²³R²⁴, -OR²⁴, -NHCONH₂, -NHCSNH₂,



and

R²⁸ and R²⁹ are, independently of one another, each a C₁₋₄ alkyl group, or R²⁸ and R²⁹, taken together with each other, are a -(CH₂)_q group, where q is 2 or 3; wherein, R²¹ through R²⁹ are optionally substituted with one or more substituents; and

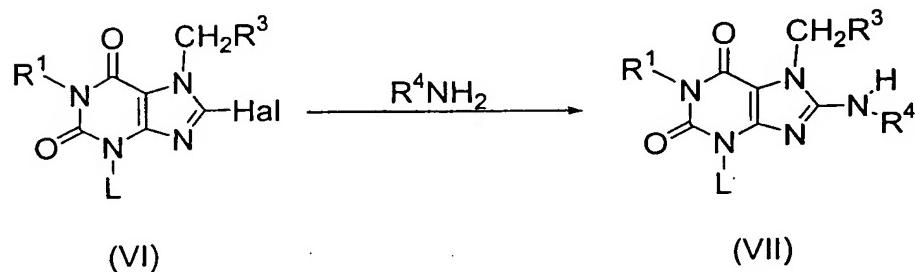
(iii) deprotonating and then halogenating the compound having the formula (V) to form a compound having the formula (VI):



where,

Hal is a halogen atom;

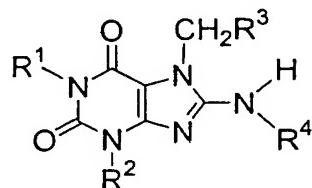
- (iv) reacting the compound having the formula (VI) with an amine having the formula R^4NH_2 to form a compound having the formula (VII):



where,

R^4 is a heterocycloalkyl group of 3 to 15 members, with or without unsubstituted or substituted with one or more substituents; and

- (v) removing the protecting portion of L, when L is the protected form of R^2 , on the compound having the formula (VII) to form the compound having the formula (I):



where,

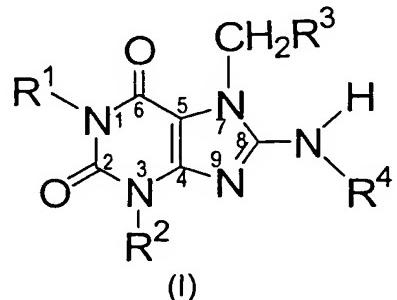
R^2 is defined the same as R^1 above, with the proviso that at least one of R^1 and R^2 is not a hydrogen atom; wherein, the one or more substituents for all the groups are chemically-compatible and are, independently of one

another, each an: alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, arylalkyl, alkylaryl, aryl, heteroaryl, heterocycloalkyl, hydroxyalkyl, arylalkyl, aminoalkyl, haloalkyl, alkylthioalkyl, carboxyalkyl, imidazolylalkyl, indolylalkyl, mono-, di- and trihaloalkyl, mono-, di- and trihaloalkoxy, amino, alkylamino, dialkylamino, alkoxy, hydroxy, halo, nitro, oximino, -COOR⁵⁰, -COR⁵⁰, -SO₀₋₂R⁵⁰, -SO₂NR⁵⁰R⁵¹, NR⁵²SO₂R⁵⁰, =C(R⁵⁰R⁵¹), =N-OR⁵⁰, =N-CN, =C(halo)₂, =S, =O, -CON(R⁵⁰R⁵¹), -OCOR⁵⁰, -OCON(R⁵⁰R⁵¹), -N(R⁵²)CO(R⁵⁰), -N(R⁵²)COOR⁵⁰ or -N(R⁵²)CON(R⁵⁰R⁵¹) group, where:

R⁵⁰, R⁵¹ and R⁵² are, independently of one another, each a hydrogen atom or a C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₄₋₆ heterocycloalkyl, heteroaryl and aryl group, or R⁵⁰ and R⁵¹ together with the atom to which they are attached together form a carbocyclic or heterocyclic ring system,

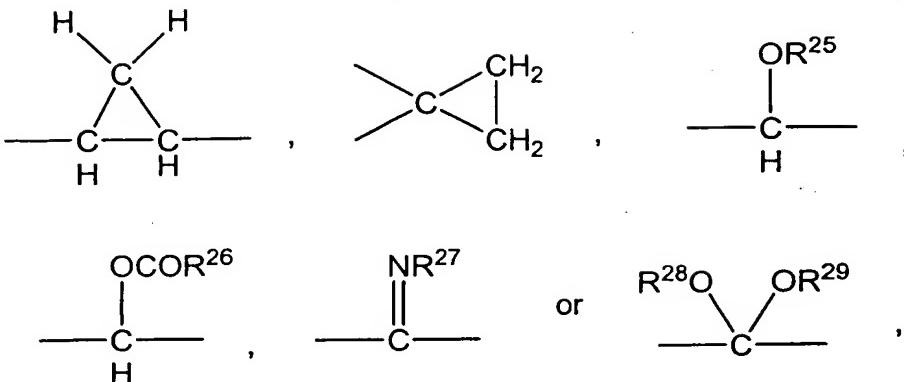
wherein the optional substituents are defined above for the one or more substituents.

47. (New) A compound of Formula (I), an enantiomer, stereoisomer, rotomer, tautomer or a pharmaceutically acceptable salt thereof:



where,

- (a) R¹ and R² are, independently of one another, each a C₁₋₁₅ alkyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkenyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkynyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₃₋₁₅ cycloalkyl group, unsubstituted or substituted with one or more substituents, an arylalkyl group, unsubstituted or substituted with one or more substituents, an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, -OR⁵, -COOR⁵, -C(O)R⁵ or -C(O)N(R⁵)₂, where, R⁵ is a hydrogen atom or a hydrocarbon radical, unsubstituted or substituted with one or more substituents, or one of R¹ and R² is a hydrogen atom and the other one of R¹ and R² is defined the same as above;
- (b) R³ is an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, or a heterocyclic group having 1 to 3 heteroatoms fused to a 5- or 6-membered aryl ring, unsubstituted or substituted with one or more substituents, with the proviso that R³ is not an aryl group



substituted at its para position with a -Y-aryl group, where, Y is
a carbon-carbon single bond, -C(O)-, -O-, -S-, -N(R²¹)-, -
C(O)N(R²²)-, -N(R²²)C(O)-, -OCH₂-, -CH₂O-, -SCH₂-, -CH₂S-, -
N(H)C(R²³)(R²⁴)-, -N(R²³)S(O₂)-, -S(O₂)N(R²³)-,
-C(R²³)(R²⁴)N(H)-, -CH=CH-, -CF=CF-, -CH=CF-, -CF=CH-, -
CH₂CH₂-, -CF₂CF₂-,

where,

R²¹ is a hydrogen atom or a -CO(C₁₋₄ alkyl), C₁₋₆ alkyl, allyl, C₃₋₆
cycloalkyl, phenyl or benzyl group;

R²² is a hydrogen atom or a C₁₋₆ alkyl group;

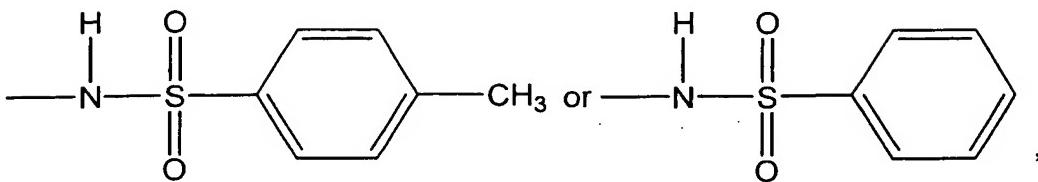
R²³ is a hydrogen atom or a C₁₋₅ alkyl, aryl or -CH₂-aryl group;

R²⁴ is a hydrogen atom or a C₁₋₄ alkyl group;

R²⁵ is a hydrogen atom or a C₁₋₈ alkyl, C₁₋₈ perfluoroalkyl,
C₃₋₆ cycloalkyl, phenyl or benzyl group;

R²⁶ is a hydrogen atom or a C₁₋₆ alkyl, C₃₋₆ cycloalkyl, phenyl or
benzyl group;

R^{27} is $-NR^{23}R^{24}$, $-OR^{24}$, $-NHCONH_2$, $-NHCSNH_2$,



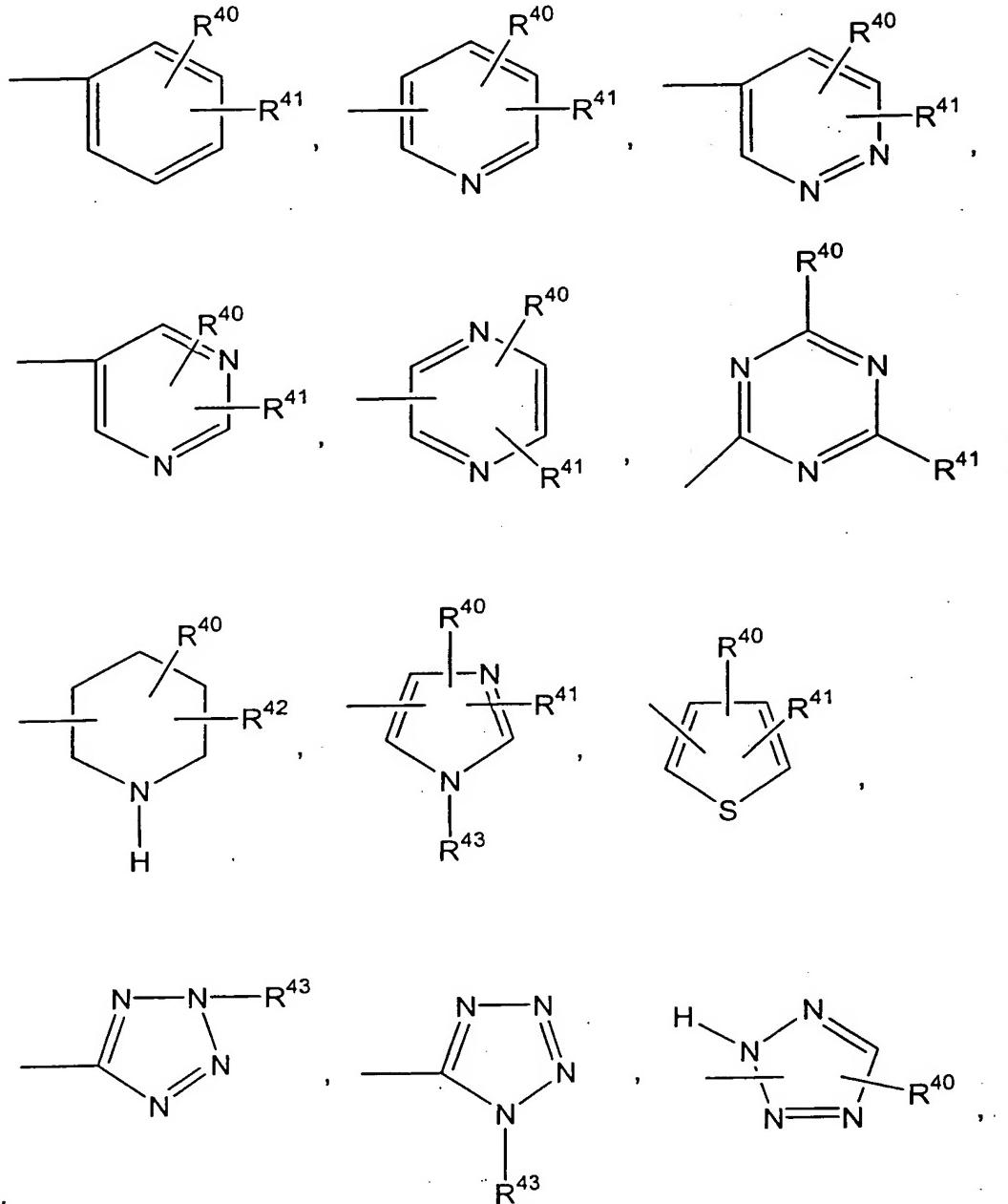
and

R^{28} and R^{29} are, independently of one another, each a C₁₋₄ alkyl group or, taken together with each other, a $-(CH_2)_q$ group, where q is 2 or 3; and

(c) R^4 is a heterocycloalkyl group of 3 to 15 members unsubstituted or substituted with one or more substituents;

wherein, the one or more substituents for all the groups are chemically-compatible and are, independently of one another, each an: alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, arylalkyl, alkylaryl, aryl, heteroaryl, heterocycloalkyl, hydroxyalkyl, arylalkyl, aminoalkyl, haloalkyl, thioalkyl, alkylthioalkyl, carboxyalkyl, imidazolylalkyl, indolylalkyl, mono-, di- and trihaloalkyl, mono-, di- and trihaloalkoxy, amino, alkylamino, dialkylamino, alkoxy, hydroxy, halo, nitro, oximino, $-COOR^{50}$, $-COR^{50}$, $-SO_{0-2}R^{50}$, $-SO_2NR^{50}R^{51}$, $NR^{52}SO_2R^{50}$, $=C(R^{50}R^{51})$, $=N-OR^{50}$, $=N-CN$, $=C(halo)_2$, $=S$, $=O$, $-CON(R^{50}R^{51})$, $-OCOR^{50}$, $-OCON(R^{50}R^{51})$, $-N(R^{52})CO(R^{50})$, $-N(R^{52})COOR^{50}$ or $-(R^{52})CON(R^{50}R^{51})$ group, where:

R^{50} , R^{51} and R^{52} are, independently of one another,



each:

where,

R^{40} and R^{41} are, independently of one another, each a hydrogen atom or a branched or straight-chain, optionally substituted, alkyl,

cycloalkyl, heterocycloalkyl, halo, aryl, imidazolylalkyl, indolylalkyl, heteroaryl, arylalkyl, arylalkoxy, heteroarylalkyl, heteroarylalkoxy, aminoalkyl, haloalkyl, mono-, di- or trihaloalkyl, mono-, di- or trihaloalkoxy, nitro, cyano, alkoxy, hydroxy, amino, phosphino, phosphate; alkylamino, dialkylamino, formyl, alkylthio, trialkylsilyl, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, morpholino, thioalkyl, alkylthioalkyl, carboxyalkyl, oximino, -COOR⁵⁰, -COR⁵⁰, -SO₀₋₂R⁵⁰, -SO₂NR⁵⁰R⁵¹, -NR⁵²SO₂R⁵⁰, -CON(R⁵⁰R⁵¹), -OCON(R⁵⁰R⁵¹), -N(R⁵²)CO(R⁵⁰), -N(R⁵²)COOR⁵⁰, -N(R⁵²)CON(R⁵⁰R⁵¹) or -OCONR⁵⁰ group, where, R⁵⁰, R⁵¹ and R⁵² are defined the same as above;

R⁴² is a hydrogen atom or a branched or straight-chain, optionally substituted, alkyl, alkenyl, arylalkyl or acyl group; and

R⁴³ is a hydrogen atom or a branched or straight-chain, optionally substituted, alkyl or aryl group;

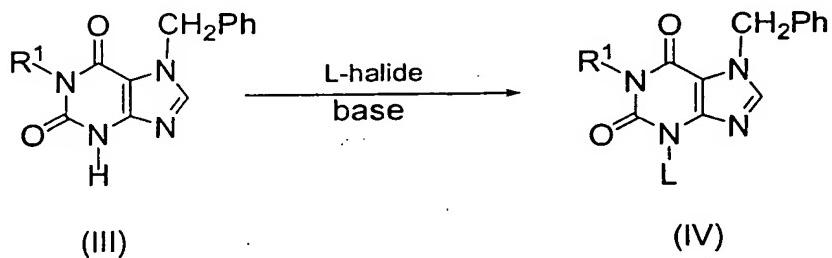
wherein, the optional substituents are defined the same as above for the one or more substituents.

48. (New) A pharmaceutical composition comprising a compound, enantiomer, stereoisomer, rotomer or tautomer of claim 47 or pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

49. (New) A method A method for treating an erectile dysfunction in a patient in need of the treatment, comprising administering to the patient an effective amount of at least one of the compound according to claim 47.

50. (New) A method for producing a compound having the formula (I), comprising:

- (i) reacting a compound having the formula (III) with L-halide in the presence of a base to form a compound having the formula (IV):



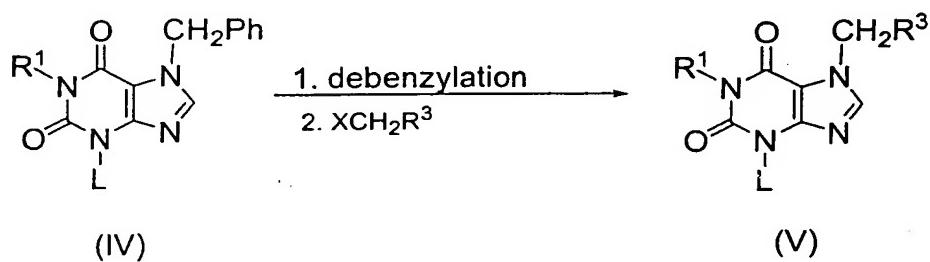
where,

- (a) R¹ is a hydrogen atom or a C₁₋₁₅ alkyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkenyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₂₋₁₅ alkynyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C₃₋₁₅ cycloalkyl group, unsubstituted or substituted with one or more substituents, an arylalkyl group, unsubstituted or substituted with one or more substituents, an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, -OR⁵, -COOR⁵, -C(O)R⁵ or -C(O)N(R⁵)₂, where R⁵ is a

hydrogen atom or a hydrocarbon radical, branched or straight-chain, unsubstituted or substituted with one or more substituents;

- (b) L is R² or a protected form of R²; and
 - (c) Ph is a phenyl group;

(ii) debenzylating and then reacting the compound having the formula (IV) with a halide having the formula XCH₂R³ to form the compound having the formula (V):

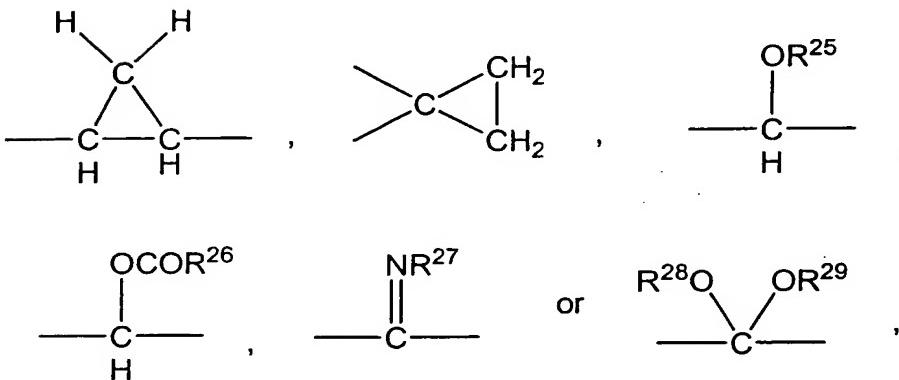


where,

X is a halogen atom and

R^3 is an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, or a heterocyclic group having 1 to 3 heteroatoms fused to a 5- or 6-membered aryl ring, unsubstituted or substituted with one or more substituents, with the proviso that R^3 is not an aryl group substituted at its para position with a -Y-aryl group,

where Y is a carbon-carbon single bond, -CO-, -O-, -S-, -
 N(R²¹)-, -CON(R²²)-, -N(R²²)CO-, -OCH₂- , -CH₂O-, -SCH₂- , -
 CH₂S-, -NHC(R²³)(R²⁴)-, -NR²³SO₂- , -SO₂NR²³- , -
 C(R²³)(R²⁴)NH-, -CH=CH-, -CF=CF-, -CH=CF-, -CF=CH-, -
 CH₂CH₂- , -CF₂CF₂- ,



where,

R²¹ is a hydrogen atom or a -CO(C₁₋₄ alkyl), C₁₋₆ alkyl, allyl, C₃₋₆ cycloalkyl, phenyl or benzyl group;

R²² is a hydrogen atom or a C₁₋₆ alkyl group;

R²³ is a hydrogen atom or a C₁₋₅ alkyl, aryl or -CH₂-aryl group;

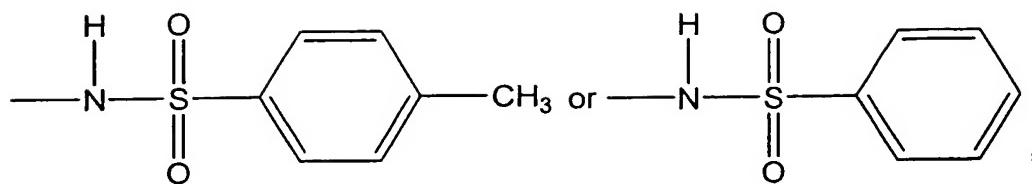
R²⁴ is a hydrogen atom or a C₁₋₄ alkyl group;

R²⁵ is a hydrogen atom or a C₁₋₈ alkyl, C₁₋₈ perfluoroalkyl;

C₃₋₆ cycloalkyl, phenyl or benzyl group;

R²⁶ is a hydrogen atom or a C₁₋₆ alkyl, C₃₋₆ cycloalkyl, phenyl or benzyl group;

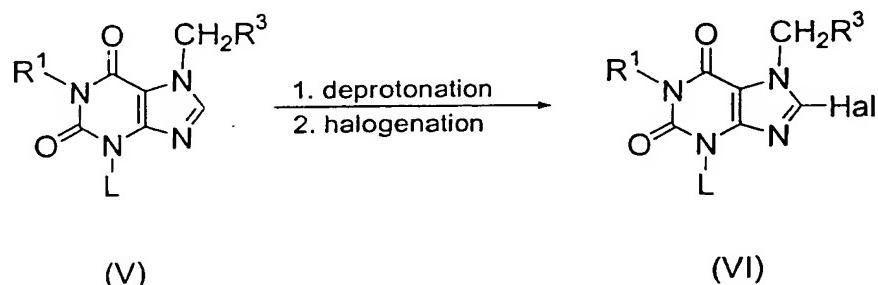
R²⁷ is -NR²³R²⁴, -OR²⁴, -NHCONH₂, -NHCSNH₂,



and

R^{28} and R^{29} are, independently of one another, each a C_{1-4} alkyl group, or R^{28} and R^{29} , taken together with each other, are a $-(CH_2)_q$ group, where q is 2 or 3; wherein, R^{21} through R^{29} are optionally substituted with one or more substituents; and

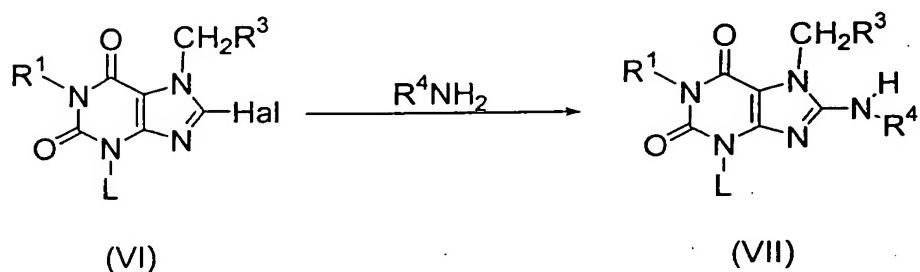
(iii) deprotonating and then halogenating the compound having the formula (V) to form a compound having the formula (VI):



where,

Hal is a halogen atom;

- (iv) reacting the compound having the formula (VI) with an amine having the formula R^4NH_2 to form a compound having the formula (VII):

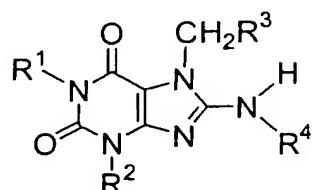


where,

R^4 is a heterocycloalkyl group of 3 to 15 members, with or without unsubstituted or substituted with one or more substituents; and

- (v) removing the protecting portion of L, when L is the protected form of R^2 , on the compound having the formula (VII) to form the compound having the formula (I):

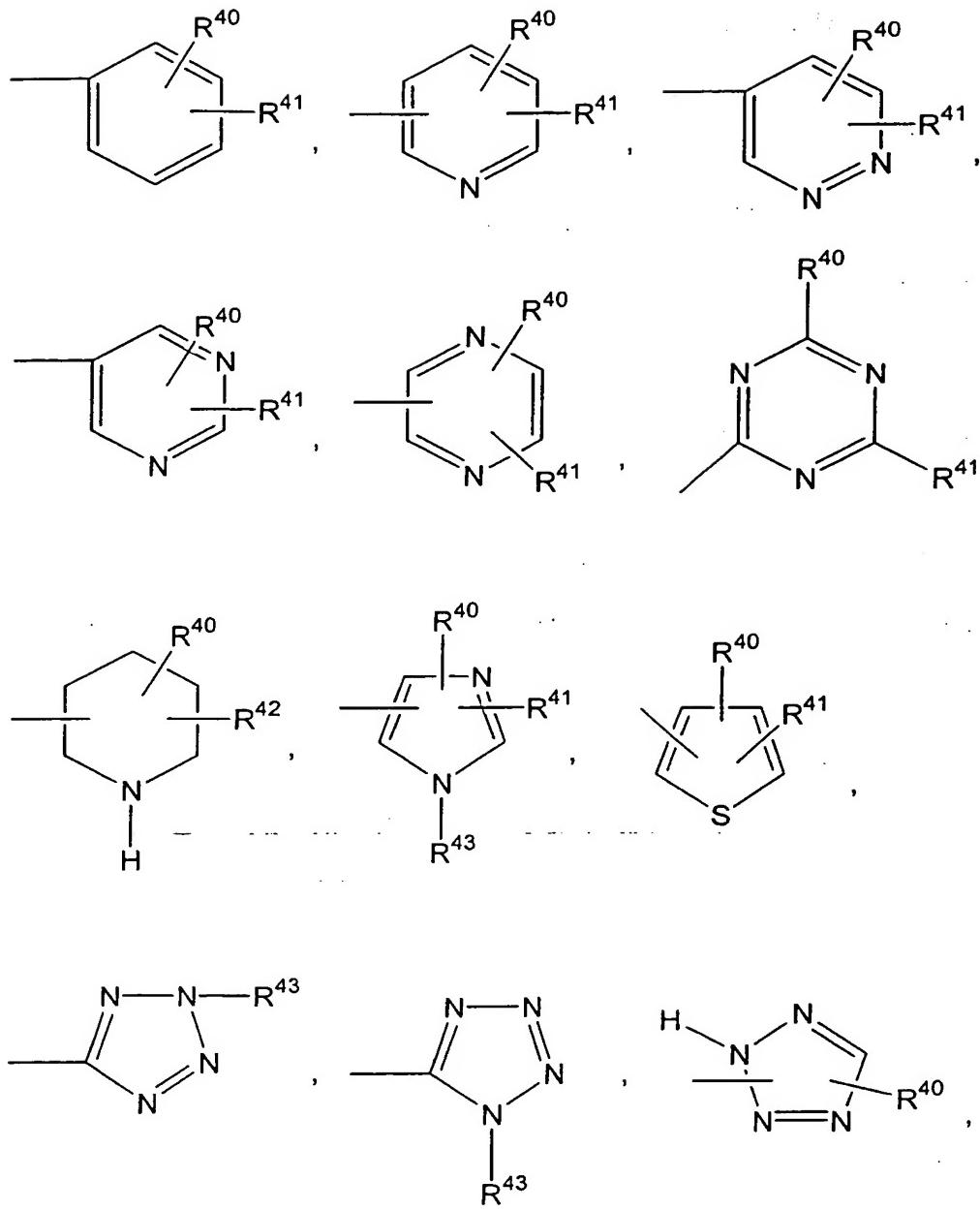
(I)



where,

R^2 is defined the same as R^1 above, with the proviso that at least one of R^1 and R^2 is not a hydrogen atom;

wherein, the one or more substituents for all the groups are chemically-compatible and are, independently of one another, each an: alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, arylalkyl, alkylaryl, aryl, heteroaryl, heterocycloalkyl, hydroxyalkyl, arylalkyl, aminoalkyl, haloalkyl, thioalkyl, alkylthioalkyl, carboxyalkyl, imidazolylalkyl, indolylalkyl, mono-, di- and trihaloalkyl, mono-, di- and trihaloalkoxy, amino, alkylamino, dialkylamino, alkoxy, hydroxy, halo, nitro, oximino, -COOR⁵⁰, -COR⁵⁰, -SO₀₋₂R⁵⁰, -SO₂NR⁵⁰R⁵¹, NR⁵²SO₂R⁵⁰, =C(R⁵⁰R⁵¹), =N-OR⁵⁰, =N-CN, =C(halo)₂, =S, =O, -CON(R⁵⁰R⁵¹), -OCOR⁵⁰, -OCON(R⁵⁰R⁵¹), (R⁵²)CO(R⁵⁰), -N(R⁵²)COOR⁵⁰ or -N(R⁵²)CON(R⁵⁰R⁵¹) group, where: R⁵⁰, R⁵¹ and R⁵² are, independently of one another, each:



where,

R^{40} and R^{41} are, independently of one another, each a hydrogen atom or an alkyl, cycloalkyl, heterocycloalkyl, halo, aryl, imidazolylalkyl,

indolylalkyl, heteroaryl, arylalkyl, arylalkoxy, heteroarylalkyl, heteroarylalkoxy, aminoalkyl, haloalkyl, mono-, di- or trihaloalkyl, mono-, di- or trihaloalkoxy, nitro, cyano, alkoxy, hydroxy, amino, phosphino, phosphate, alkylamino, dialkylamino, formyl, alkylthio, trialkylsilyl, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, morpholino, thioalkyl, alkylthioalkyl, carboxyalkyl, oximino, -COOR⁵⁰, -COR⁵⁰, -SO₀₋₂R⁵⁰, -SO₂NR⁵⁰R⁵¹, -NR⁵²SO₂R⁵⁰, -CON(R⁵⁰R⁵¹), -OCON(R⁵⁰R⁵¹), -N(R⁵²)CO(R⁵⁰), -N(R⁵²)COOR⁵⁰, -N(R⁵²)CON(R⁵⁰R⁵¹) or -OCONR⁵⁰ group, where, R⁵⁰, R⁵¹ and R⁵² are defined the same as above;

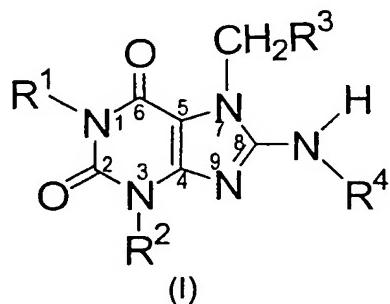
R⁴² is a hydrogen atom or an alkyl, alkenyl, arylalkyl or acyl group;

and

R⁴³ is a hydrogen atom or an alkyl or aryl group;

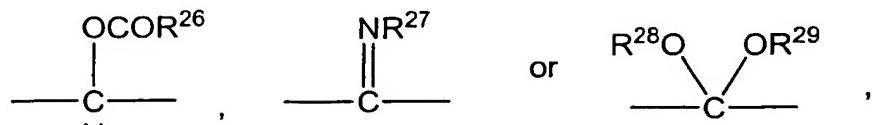
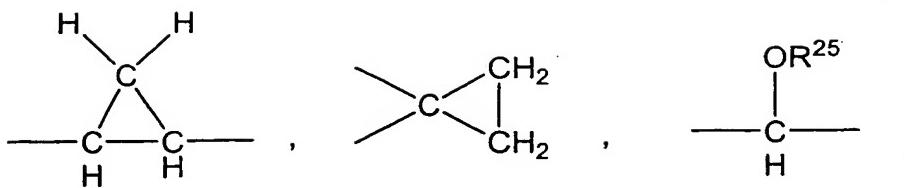
where, R⁴⁰ through R⁴³ and R⁵⁰ through R⁵² are, independently of one another, each optionally substituted with any one of the groups defined above for the one or more substituents.

51. (New) A compound of Formula (I), an enantiomer, stereoisomer, rotomer, tautomer or a pharmaceutically acceptable salt thereof:

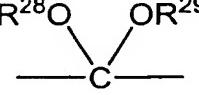


where,

- (a) R^1 and R^2 are, independently of one another, each a C_{1-15} alkyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C_{2-15} alkenyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C_{2-15} alkynyl group, branched or straight chain, unsubstituted or substituted with one or more substituents, a C_{3-15} cycloalkyl group, unsubstituted or substituted with one or more substituents, an arylalkyl group, unsubstituted or substituted with one or more substituents, an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, $-OR^5$, $-COOR^5$, $-C(O)R^5$ or $-C(O)N(R^5)_2$, where, R^5 is a hydrogen atom or a hydrocarbon radical, unsubstituted or substituted with one or more substituents, or one of R^1 and R^2 is a hydrogen atom and the other one of R^1 and R^2 is defined the same as above;
- (b) R^3 is an aryl group, unsubstituted or substituted with one or more substituents, a heteroaryl group, unsubstituted or substituted with one or more substituents, or a heterocyclic group having 1 to 3 heteroatoms fused to a 5- or 6-membered aryl ring, unsubstituted or substituted with one or more substituents, with the proviso that R^3 is not an aryl group substituted at its para position with a $-Y$ -aryl group, where, Y is a carbon-carbon single bond, $-C(O)-$, $-O-$, $-S-$, $-N(R^{21})-$, $-C(O)N(R^{22})-$, $-N(R^{22})C(O)-$, $-OCH_2-$, $-CH_2O-$, $-SCH_2-$, $-CH_2S-$, $-N(H)C(R^{23})(R^{24})-$,



or



$N(R^{23})S(O_2)^{-}$, $-S(O_2)N(R^{23})^{-}$, $-C(R^{23})(R^{24})N(H)^{-}$, $-CH=CH^-$, $-CF=CF^-$,
 $-CH=CF^-$, $-CF=CH^-$, $-CH_2CH_2^-$, $-CF_2CF_2^-$,

where,

R^{21} is a hydrogen atom or a $-CO(C_{1-4}$ alkyl), C_{1-6} alkyl, allyl, C_{3-6} cycloalkyl, phenyl or benzyl group;

R^{22} is a hydrogen atom or a C_{1-6} alkyl group;

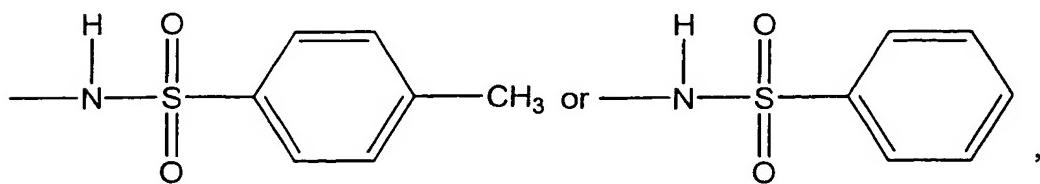
R^{23} is a hydrogen atom or a C_{1-5} alkyl, aryl or $-CH_2$ -aryl group;

R^{24} is a hydrogen atom or a C_{1-4} alkyl group;

R^{25} is a hydrogen atom or a C_{1-8} alkyl, C_{1-8} perfluoroalkyl,
 C_{3-6} cycloalkyl, phenyl or benzyl group;

R^{26} is a hydrogen atom or a C_{1-6} alkyl, C_{3-6} cycloalkyl, phenyl or
benzyl group;

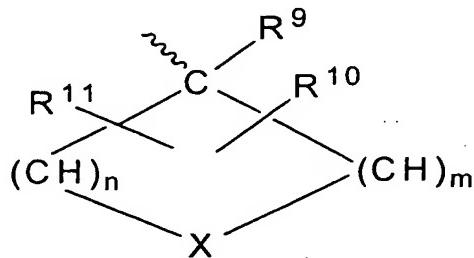
R^{27} is $-NR^{23}R^{24}$, $-OR^{24}$, $-NHCONH_2$, $-NHCSNH_2$,



and

R^{28} and R^{29} are, independently of one another, each a C_{1-4} alkyl group or, taken together with each other, a $-(CH_2)_q$ group, where q is 2 or 3; and

(c) R^4 is :



where,

R^9 is a hydrogen atom or an optionally substituted, oximino, carboxyalkyl, C_{1-6} alkoxy C_{1-6} alkyl group, aryloxy C_{1-6} alkyl, C_{3-6} cycloalkoxy C_{1-6} alkyl, heteroaryloxy C_{1-6} alkyl, $-COOR^{50}$, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} heterocyclic, hydroxyl C_{1-6} alkyl, aryl or heteroaryl group;
where R^{50} is a hydrogen atom or a C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{4-6} heterocycloalkyl, heteroaryl and aryl group;

R^{10} and R^{11} are substituents on the same or different carbon atoms of the ring and, independently of one another, are each:

- (a) defined the same as above for R^9 ;
- (b) a hydroxyl group or an ester group derived from a hydroxyl group with a (i) C_{1-6} carboxylic acid;

(ii) C₃₋₆ cycloalkyl C₁₋₆ carboxylic acid; (iii) aryl C₁₋₆ carboxylic acid; or (iv) heteroaryl C₁₋₆ carboxylic acid group; or

- (c) a C₁₋₆ alkoxy, amino, C₁₋₆ mono- or dialkylamino, C₁₋₆ alkylacylamino, C₁₋₆ alkylsulfonylamino or -NHCON(R¹⁴)₂ group, unsubstituted or substituted with one or more substituents, where R¹⁴ is a hydrogen atom or an optionally substituted, C₁₋₆ alkyl or aryl group, or

R¹⁰ and R¹¹, taken together with each other and the carbon atom of the ring to which they are attached, form an optionally substituted, bi- or tri-cyclic ring system of from 8 to 12 members, including from 0 to 4 hetero atoms;

m and n, independently of one other, are each from 1 to 3; and X is a chemically-compatible group, which is -S(O)_y, -O- -N(R⁶⁰)-,

where:

y is from 0 to 2; and

R⁶⁰ is a hydrogen atom or an optionally substituted, C₁₋₈ alkyl, C₁₋₈ alkynyl, C₁₋₈ alkenyl, C₃₋₈ cycloalkyl, aryl, heteroaryl, C₄₋₈ heterocycloalkyl, COR⁶¹, SO₂R⁶¹, COOR⁶¹, CONR⁶¹R⁶² or SO₂NR⁶¹R⁶² group, where:

R^{61} is a hydrogen atom or an optionally substituted, C₁₋₈ alkyl, C₂₋₈ alkynyl, C₂₋₈ alkenyl, C₃₋₈ cycloalkyl, aryl, heteroaryl or C₄₋₈ heterocyclic group; and

R^{62} is a hydrogen atom or an optionally substituted, C₁₋₈ alkyl, C₂₋₈ alkynyl, C₂₋₈ alkenyl, C₃₋₈ cycloalkyl, aryl, heteroaryl or C₄₋₈ heterocyclic group; and

when R^{61} and R^{62} are the same or different alkyl groups, or optionally, together with the atom to which they are attached, form a carbocyclic or heterocyclic ring system; wherein, the optional substituents are defined the same as for the one or more substituents defined above.